C:\Program Files\Smexp\Queries\10828753-122707.str

chain nodes :
12 13 14 15 16 17 18 19 20 21 22 24
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
2-6 2-22 3-13 3-14 4-15 4-20 5-16 5-21 7-19 8-18 9-24 11-12 16-17
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 4-5 4-15 6-7 6-11 7-8 8-9 9-10 9-24 10-11 11-12
exact bonds :
2-22 3-13 3-14 4-20 5-16 5-21 7-19 8-18 16-17

G1:O,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS13:CLASS14:CLASS
15:CLASS16:CLASS17:CLASS18:CLASS19:CLASS20:CLASS21:CLASS22:CLASS24:CLASS

10/528,753

FILE 'REGISTRY' ENTERED AT 15:01:44 ON 27 DEC 2007
L1 STRUCTURE UPLOADED
L2 0 S L1 SSS SAM
L3 19 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:02:41 ON 27 DEC 2007
L4 18 S L3

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10/828,753

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


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 NEWS  1                Web Page for STN Seminar Schedule - N. America
 NEWS  2  AUG 06   CAS REGISTRY enhanced with new experimental property tags
 NEWS  3  AUG 06   FSTA enhanced with new thesaurus edition
 NEWS  4  AUG 13   CA/CAplus enhanced with additional kind codes for granted
                   patents
 NEWS  5  AUG 20   CA/CAplus enhanced with CAS indexing in pre-1907 records
 NEWS  6  AUG 27   Full-text patent databases enhanced with predefined
                   patent family display formats from INPADOCDB
 NEWS  7  AUG 27   USPATOLD now available on STN
 NEWS  8  AUG 28   CAS REGISTRY enhanced with additional experimental
                   spectral property data
 NEWS  9  SEP 07   STN AnaVist, Version 2.0, now available with Derwent
                   World Patents Index
 NEWS 10  SEP 13   FORIS renamed to SOFIS
 NEWS 11  SEP 13   INPADOCDB enhanced with monthly SDI frequency
 NEWS 12  SEP 17   CA/CAplus enhanced with printed CA page images from
                   1967-1998
 NEWS 13  SEP 17   CAplus coverage extended to include traditional medicine
                   patents
 NEWS 14  SEP 24   EMBASE, EMBAL, and LEMBASE reloaded with enhancements
 NEWS 15  OCT 02   CA/CAplus enhanced with pre-1907 records from Chemisches
                   Zentralblatt
 NEWS 16  OCT 19   BEILSTEIN updated with new compounds
 NEWS 17  NOV 15   Derwent Indian patent publication number format enhanced
 NEWS 18  NOV 19   WPIX enhanced with XML display format
 NEWS 19  NOV 30   ICSD reloaded with enhancements
 NEWS 20  DEC 04   LINPADOCDB now available on STN
 NEWS 21  DEC 14   BEILSTEIN pricing structure to change
 NEWS 22  DEC 17   USPATOLD added to additional database clusters
 NEWS 23  DEC 17   IMSDRUGCONF removed from database clusters and STN
 NEWS 24  DEC 17   DGENE now includes more than 10 million sequences
 NEWS 25  DEC 17   TOXCENTER enhanced with 2008 MeSH vocabulary in
                   MEDLINE segment
 NEWS 26  DEC 17   MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
 NEWS 27  DEC 17   CA/CAplus enhanced with new custom IPC display formats
 NEWS 28  DEC 17   STN Viewer enhanced with full-text patent content
                   from USPATOLD

 NEWS EXPRESS  19 SEPTEMBER 2007:  CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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FILE 'HOME' ENTERED AT 15:01:03 ON 27 DEC 2007



=> file reg
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=> file reg
COST IN U.S. DOLLARS                                 SINCE FILE      TOTAL
                                                          ENTRY    SESSION
FULL ESTIMATED COST                                        0.21       0.21

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STRUCTURE FILE UPDATES:   26 DEC 2007  HIGHEST RN 959588-76-2
DICTIONARY FILE UPDATES:  26 DEC 2007  HIGHEST RN 959588-76-2

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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10828753-122707.str

L1       STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                             0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           0 TO         0
PROJECTED ANSWERS:              0 TO         0

L2              0 SEA SSS SAM L1

=> s l1 full
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100.0% PROCESSED       20 ITERATIONS                            19 ANSWERS
SEARCH TIME: 00.00.01

L3             19 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                 SINCE FILE      TOTAL
                                                          ENTRY    SESSION
FULL ESTIMATED COST                                      172.55     172.76

FILE 'CAPLUS' ENTERED AT 15:02:41 ON 27 DEC 2007
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[illegible]

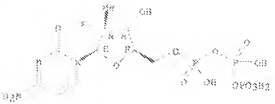
FILE COVERS 1907 - 27 Dec 2007 VOL 147 ISS 26
FILE LAST UPDATED: 26 Dec 2007 (20071226/ED)

=> s l3
L4 10 L3

=> d ibib abs hitstr 1-10 l4

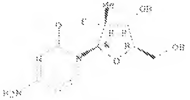
L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:1123735 CAPLUS
DN 147:461654
TI Characterization of the Metabolic Activation of Hepatitis C Virus
Nucleoside Inhibitor β-D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine
(PSI-6130) and Identification of a Novel Active 5'-Triphosphate Species
AU Ma, Han; Jiang, Wen-Rong; Robledo, Nicole; Leveque, Vincent; Ali, Samir;
Lara-Jaime, Teresa; Masjedizadeh, Mohammad; Smith, David B.; Cammack,
Nick; Klumpp, Klaus; Symons, Julian
CS Roche Palo Alto LLC, Palo Alto, CA, 94304, USA
SO Journal of Biological Chemistry (2007), 282(41), 29812-29820
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB β-D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) is a potent
inhibitor of hepatitis C virus (HCV) replication in the subgenomic HCV
replicon system, and its corresponding 5'-triphosphate is a potent
inhibitor of the HCV RNA polymerase in vitro. In this study the formation
of PSI-6130-triphosphate was characterized in primary human hepatocytes.
PSI-6130 and its 5'-phosphorylated derivs. were identified, and the
intracellular concns. were determined. In addition, the deaminated derivative of
PSI-6130, β-D-2'-deoxy-2'-fluoro-2'-C-methyluridine (RO2433,
PSI-6026) and its corresponding phosphorylated metabolites were identified
in human hepatocytes after incubation with PSI-6130. The formation of the
5'-triphosphate (TP) of PSI-6130 (PSI-6130-TP) and RO2433 (RO2433-TP)
increased with time and reached steady state levels at 48 h. The
formation of both PSI-6130-TP and RO2433-TP demonstrated a linear
relationship with the extracellular concns. of PSI-6130 up to 100 μM,
suggesting a high capacity of human hepatocytes to generate the two
triphosphates. The mean half-lives of PSI-6130-TP and RO2433-TP were 4.7
and 38 h, resp. RO2433-TP also inhibited RNA synthesis by the native HCV
replicase isolated from HCV replicon cells and the recombinant HCV
polymerase NS5B with potencies comparable with those of PSI-6130-TP.
Incorporation of RO2433-5'-monophosphate (MP) into nascent RNA by NS5B led
to chain termination similar to that of PSI-6130-MP. These results
demonstrate that PSI-6130 is metabolized to two pharmacol. active species
in primary human hepatocytes.
IT 817204-44-7, PSI-6130-triphosphate
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study);
USES (Uses)
(characterization of metabolic activation of hepatitis C virus
nucleoside inhibitor β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine
(PSI-6130) and identification of a novel active 5'-triphosphate
species)
RN 817204-44-7 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-deoxy-2'-fluoro-2'-methyl-,
(2'R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 817204-33-4, PSI-6130
PL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(characterization of metabolic activation of hepatitis C virus nucleoside inhibitor β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) and identification of a novel active 5'-triphosphate species)
RN 817204-33-4 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



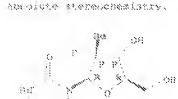
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:877086 CAPLUS
DN 147:397801
TI Pharmacokinetics of the antiviral agent β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine in rhesus monkeys
AU Asif, Ghazia; Hurwitz, Selwyn J.; Shi, Junxing; Hernandez-Santiago, Brenda I.; Schinazi, Raymond F.
CS Department of Pediatrics, Emory University, Atlanta, GA, 30322, USA
SO Antimicrobial Agents and Chemotherapy (2007), 51(8), 2877-2882
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB β-D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) is an effective inhibitor of hepatitis C virus (HCV) replication in vitro. The purpose of this study was to evaluate the single-dose pharmacokinetics of PSI-6130 in rhesus monkeys following i.v. and oral administration. Noncompartmental anal. of the serum data obtained following oral and i.v. administration was performed. Pharmacokinetic studies with rhesus monkeys indicated slow and incomplete absorption with a mean absorption time (MAT) of 4.6 h and an oral bioavailability of 24.0% ± 14.3% (mean ± standard deviation), with comparable mean apparent half-lives following i.v. (4.54 ± 3.98 h) and oral (5.64 ± 1.13 h) administrations. The average percentages of the total dose recovered unchanged and in deaminated form in the urine were 32.9% ± 12.4% and 16.9% ± 6.4% (i.v.) and 8.0% ± 3.9% and 3.9% ± 1.6% (oral), resp. The total bioavailability, taking into account the parent drug and its deaminated metabolite 2'-deoxy-2'-fluoro-2'-C-methyluridine (PSI-6206), was 64% ± 26%. PSI-6130 was present in the cerebrospinal fluid after oral and i.v. dosing. However, no deamination of radiolabeled PSI-6130 was detected after 8 h of incubation in monkey and human whole blood. An N4-modified prodrug of PSI-6130 (PSI-6419) was orally administered to monkeys, but it failed to improve the oral bioavailability of PSI-6130. Further studies are warranted to improve the oral bioavailability and reduce the deamination of PSI-6130 in order to

explore the potential of this drug for the treatment of HCV-infected individuals.

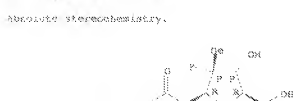
L5 86.529-65-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(PK; 4200; pharmacokinetics of antiviral deoxyfluoromethylcytidine in rhesus monkeys)
RN 86529-65-2 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 95091-07-8
RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PK1-0413; pharmacokinetics of antiviral deoxyfluoromethylcytidine in rhesus monkeys)
RN 95092-07-8 CAPLUS
CN 3UDCK NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 81720-33-4, PET-6330
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Pharmacokinetics of antiviral deoxyfluoromethylcytidine in rhesus monkeys)
RN 81720-33-4 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

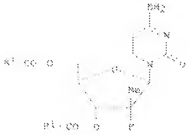
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:640930 CAPLUS
DN 147:51108
TI Preparation of acylated (2'R)-2'-deoxy-2'-fluoro-2'-methylcytidines as antiviral agents
IN Chun, Byoung-Kwon; Clark, Jeremy; Sarma, Keshab; Wang, Peiyuan
PA F. Hoffmann-La Roche A.-G., Switz.; Pharmasset Inc.

SO PCT Int. Appl., 44pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007065829	A1	20070614	WO 2006-EP69060	20061129
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2007197463	A1	20070823	US 2006-635898	20061208
PRAI	US 2005-742319P	P	20051209		

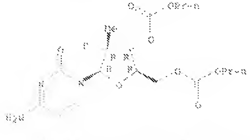
OS CASREACT 147:53103; MARPAT 147:53103
GI



I

AB Acylated nucleosides I (R1 = alkyl, alkoxy) and their salts, useful as antiviral agents, are prepared by acylation of I (R1 = H). Formulations containing I (R1 = i-PrO) were given.
IT 940908-81-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of acylated (2'R)-2'-deoxy-2'-fluoro-2'-methylcytidines as antiviral agents)
RN 940908-81-6 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-bis(propyl carbonate), (2'R)- (CA INDEX NAME)

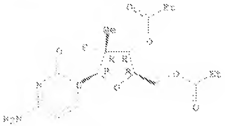
Absolute stereochemistry.



IT 940908-78-1P 940908-79-2P 940908-80-5P
940908-82-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

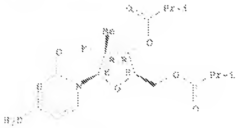
(Uses)
(preparation of acylated (2'R)-2'-deoxy-2'-fluoro-2'-methylcytidines as antiviral agents)
RN 940908-78-1 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dipropanoate, (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



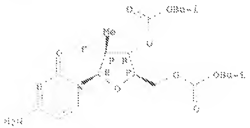
RN 940908-79-2 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-bis(2-methylpropanoate), (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



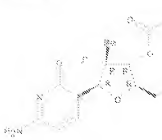
RN 940908-80-5 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-bis(2-methylpropyl carbonate), (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 940908-82-7 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-bis(propyl carbonate), hydrochloride (1:1) (CA INDEX NAME)

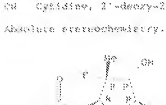
Absolute stereochemistry.



● HCl

IT 817204-33-9
RL: RCT (Reactant); PACT (Pharmacological activity); RACT (Reactant or reagent)
(preparation of acylated (2'R)-2'-deoxy-2'-fluoro-2'-methylcytidines as antiviral agents)
RN 817204-33-9 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

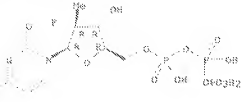


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:1409848 CAPLUS
DN 148:38725
TI Mechanism of activation of β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine and inhibition of hepatitis C virus NS5B RNA polymerase
AU Murakami, Eisuke; Bao, Beiying; Ramesh, Mangala; McGraver, Tamara R.; Whitaker, Tony; Micolochick Steuer, Holly M.; Schinazi, Raymond F.; Sofia, Michael J.; Furman, Phillip A.
CS Pharmasset, Inc., Princeton, NJ, 08540, USA
SO Antimicrobial Agents and Chemotherapy (2007), 51(2), 503-509
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) is a potent specific inhibitor of hepatitis C virus (HCV) RNA synthesis in Huh-7 replicon cells. To inhibit the HCV NS5B RNA polymerase, PSI-6130 must be phosphorylated to the 5'-triphosphate form. The phosphorylation of PSI-6130 and inhibition of HCV NS5B were investigated. The phosphorylation of PSI-6130 by recombinant human 2'-deoxycytidine kinase (dCK) and uridine-cytidine kinase 1 (UCK-1) was measured by using a coupled spectrophotometric reaction. PSI-6130 was shown to be a substrate for purified dCK, with a Km of 81 μM and a Vmax of 0.07 s-1, but was not a substrate for UCK-1. PSI-6130 monophosphate (PSI-6130-MP) was efficiently phosphorylated to the diphosphate and subsequently to the triphosphate by recombinant human UMP-CMP kinase and nucleoside diphosphate kinase, resp. The inhibition of wild-type and mutated (S282T) HCV NS5B RNA polymerases was studied. The steady-state inhibition constant (Ki) for PSI-6130 triphosphate (PSI-6130-TP) with the wild-type enzyme was 2.1 μM. Similar results were obtained with 2'-C-methyladenosine triphosphate (Ki = 1.5 μM) and 2'-C-methylcytidine triphosphate (Ki = 1.6 μM). NS5B with the S282T mutation, which is known to confer resistance to 2'-C-methyladenosine, was inhibited by PSI-6130-TP as efficiently as the wild-type. Incorporation of PSI-6130-MP into RNA

catalyzed by purified NS5B RNA polymerase resulted in chain termination.
TF 817264-44-1, PSI 6130 triphosphate 832721-61-6, PSI 6130 monophosphate 832721-66-7, PSI 6130 diphosphate
RL: BSU (Biological study, unclassified); BIOL (Biological study); (formation; mechanism of activation of β-D-2'-deoxy-2'-fluoro-2'-C-methyleytidine and inhibition of hepatitis C virus NS5B RNA polymerase)
RE 817264-44-1 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

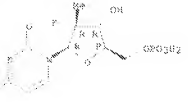
Absolute stereochemistry.



H2N

RN 832721-61-6 CAPLUS
CN 5'-Cytidylic acid, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



H2N

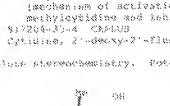
RN 832721-66-7 CAPLUS
CN Cytidine 5'-(trihydrogen diphosphate), 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry.

H2N

TF 817264-32-4, PSI 6130
PT: BSU (Biological study, unclassified); PEP (Properties); BIOL (Biological study)
(mechanism of activation of β-D-2'-deoxy-2'-fluoro-2'-C-methyleytidine and inhibition of hepatitis C virus NS5B RNA polymerase)
RN 817264-32-4 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

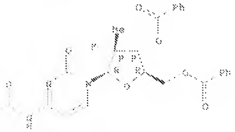


H2N

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

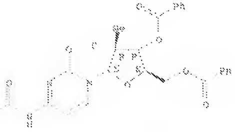
AN 2006:985391 CAPLUS
DN 145:505697
TI Synthesis of 2-deoxy-2-fluoro-2-C-methyl-D-ribofuranoses
AU Clark, Jeremy L.; Mason, J. Christian; Hobbs, Ann J.; Hollecker, Laurent; Schinazi, Raymond F.
CS Pharmasset, Inc., Tucker, GA, USA
SO Journal of Carbohydrate Chemistry (2006), 25(6), 461-470
CODEN: JCACDM; ISSN: 0732-8303
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 145:505697
AB The synthesis of Me 3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-C-methyl-β-D-ribofuranoside and the conversion to the corresponding 1-O-acetyl-3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-C-methyl-D-ribofuranose and 1,3,5-tri-O-benzoyl-2-deoxy-2-fluoro-2-C-methyl-D-ribofuranose is reported. The key synthetic step is the fluorination of the tertiary center of Me 3,5-di-O-benzyl-2-C-methyl-β-D-arabinofuranoside to provide Me 3,5-di-O-benzyl-2-deoxy-2-fluoro-2-C-methyl-β-D-ribofuranoside.
IT 817204-32-3P 874638-94-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 2-deoxy-2-fluoro-2-C-methyl-D-ribofuranoses via fluorination of the tertiary center of Me 3,5-di-O-benzyl-2-C-methyl-β-D-arabinofuranosides)
RN 817204-32-3 CAPLUS
CN Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 874638-94-5 CAPLUS
CN Benzamide, N-[1-[(2R)-3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-methyl-α-D-erythro-pentofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

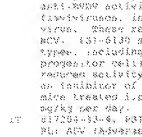


RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2006:478128 CAPLUS

DN 146:202053
TI Inhibition of hepatitis C replicon RNA synthesis by 4'-Ch-2'-deoxy-2'-fluoro-2'-C-methylcytidine: a specific inhibitor of hepatitis C virus replication
AU Stuyver, Lieven J.; McBrayer, Tamara R.; Tharnish, Phillip M.; Clark, Jeffrey; Hollecker, Laurent; Lostia, Stefania; Nachman, Tamey; Grier, Jason; Bennett, Matthew A.; Xie, Meng-Yu; Schinazi, Raymond F.; Morrey, John D.; Julander, Justin G.; Furman, Phillip A.; Otto, Michael J.
CS Pharmasset Inc, Princeton, NJ, USA
NA Antiviral Chemistry & Chemotherapy (2006), 17(2), 79-87
CODEN: ACCHEH; ISSN: 0956-3202
PB International Medical Press, Ltd.
DT Journal
LA English
AB β-D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) is a cytidine analog with potent and selective anti-hepatitis C virus (HCV) activity in the subgenomic HCV replicon assay. 90% effective concentration (EC90) = 4.6 ± 1.8 μM. The spectrum of activity and cytotoxicity profile of PSI-6130 was evaluated against a diverse panel of viruses and cell types, and against two addnl. HCV-1b replicons. The S282T mutation, which confers resistance to 2'-C-Me adenosine and other 2'-methylated nucleosides, conferred only a 6.5-fold increase in EC90. When assayed for activity against bovine diarrhoea virus (BVDV), which is typically used as a surrogate assay to identify compds. active against HCV, PSI-6130 shows no anti-BVDV activity. Weak antiviral activity was noted against other flaviviruses, including West Nile virus, Dengue type 2, and yellow fever virus. These results indicate that PSI-6130 is a specific inhibitor of HCV. PSI-6130 showed little or no cytotoxicity against various cell types, including human peripheral blood mononuclear and human bone marrow progenitor cells. No mitochondrial toxicity was observed with PSI-6130. The reduced activity against the RdRp S282T mutant suggests that PSI-6130 is an inhibitor of replicon RNA synthesis. Finally, the no-effect dose for mice treated i.p. with PSI-6130 for six consecutive days was >100 mg/kg per day.
AT 817204-31-4, RCT 6130
PAI ACW (Adverse effect, including toxicity); DNA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PSI-6130 inhibition of hepatitis C replicon RNA synthesis)
RN 817204-31-4 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Relative stereochemistry. Rotation (+).



FILE 'JC' THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:269477 CAPLUS
DN 144:312285
TI Preparation of aryl-substituted 7-deazy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs via condensation of the lactone to nucleosides as potential antiviral agents
IN Chun, Byoung-Kwon; Wang, Peiyuan
PA Pharmasset, Inc., USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2006031725 A2 20060323 WO 2005-US32886 20050913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

AU 2005285045	A1	20060323	AU 2005-285045	20050913
CA 2580457	A1	20060323	CA 2005-2580457	20050913
US 2006122146	A1	20060608	US 2005-225425	20050913
EP 1809301	A2	20070725	EP 2005-808357	20050913

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU

	IN 2007KN01283	A	20070720	IN 2007-KN1283	20070412
	KR 2007097593	A	20070918	KR 2007-708620	20070416
PRAI	US 2004-609783P	P	20040914		
	US 2004-610035P	P	20040915		
	US 2005-666230P	P	20050329		
	WO 2005-US32406	W	20050913		

OS MARPAT 144:312289
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

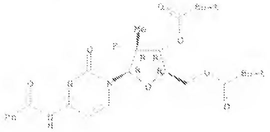
AB A process for preparing of 2-deoxy-2-fluoro-2-methyl-D-ribonolactones, I, wherein R1 and R2 can independently be H, CH3, acetyl, benzoyl, pivaloyl, 4-nitrobenzoyl, 3-nitrobenzoyl, 2-nitrobenzoyl, 4-chlorobenzoyl, 3-chlorobenzoyl, 2-chlorobenzoyl, 4-methylbenzoyl, 3-methylbenzoyl, 2-methylbenzoyl, 4-phenylbenzoyl, benzyl, 4-methoxybenzyl, trityl, trialkylsilyl, t-butyl-dialkylsilyl, t-butyldiphenylsilyl, TIPDS, THP, MOM, or MEO are prepared and used in the condensation to 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs. Thus, 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs II and III, wherein X is a halogen; Y is N or CH; Z is a halogen, hydroxyl, ether, thiol, thioether, (un)substituted amine or alkyl; R1' is alkyl, vinyl, ethynyl; R2' and R3' can be same or different H, alkyl, arylalkyl, acyl, cyclic acetal such as 2',3'-O-isopropylidene or 2',3'-O-benzylidene, or 2',3'-cyclic carbonate; R4, R5, and R6 are independently H, halogen, hydroxyl, ether, thiol, thioether, N3, (un)substituted amine, (un)substituted amido, alkyl, halogenated alkyl, alkenyl, halogenated alkenyl, alkynyl, halogenated alkynyl, hydroxy alkyl, alkoxy are prepared and are potential anti-HCV agents. Specifically, IV was prepared in 86 % yield via condensation, alkylation and stereoselective fluorination reactions and can exhibit potential use as an anti-HCV agent.

IT 879551-07-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkyl-substituted 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs via condensation of the lactone to nucleosides)

RN 879551-07-2 CAPLUS

CN Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-bis(2,2-dimethylpropanoate), (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:103884 CAPLUS
DN 144:171198
TI Preparation of alkyl-substituted 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs via condensation of the lactone to nucleosides as potential antiviral agents
IN Wang, Peiyuan; Stec, Wojciech; Clark, Jeremy; Chun, Byoung-Kwon; Shi, Junxing; Du, Jinfa
PA Pharmasset, Inc., USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006012440	A2	20060202	WO 2005-US25916	20050721
	WO 2006012440	A3	20060727		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005267051	A1	20060202	AU 2005-267051	20050721
	CA 2574651	A1	20060202	CA 2005-2574651	20050721
	EP 1773856	A2	20070418	EP 2005-775359	20050721
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 101023094	A	20070822	CN 2005-80031536	20050721
	US 2006199783	A1	20060907	US 2006-353597	20060213
	IN 2007KN00605	A	20070706	IN 2007-KN605	20070220
PRAI	US 2004-589866P	P	20040721		
	US 2004-608230P	P	20040909		
	US 2005-185928	A1	20050721		
	WO 2005-US25916	W	20050721		

OS MARPAT 144:171198
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

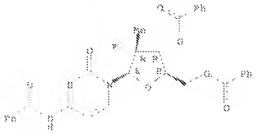
AB A process for preparing of 2-deoxy-2-fluoro-2-methyl-D-ribonolactones, I, wherein R1 and R2 can independently be H, CH3, acetyl, benzoyl, pivaloyl, 4-nitrobenzoyl, 3-nitrobenzoyl, 2-nitrobenzoyl, 4-chlorobenzoyl, 3-chlorobenzoyl, 2-chlorobenzoyl, 4-methylbenzoyl, 3-methylbenzoyl, 2-methylbenzoyl, 4-phenylbenzoyl, benzyl, 4-methoxybenzyl, trityl, trialkylsilyl, t-butyl-dialkylsilyl, t-butyldiphenylsilyl, TIPDS, THP, MOM, or MEM are prepared and used in the condensation to 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs. Thus, 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs II and III, wherein X is a halogen; Y is N or CH; Z is a halogen.

hydroxyl, ether, thiol, thioether, (un)substituted amine or alkyl; R1' is alkyl, vinyl, ethynyl; R2' and R3' can be same or different H, alkyl, arylalkyl, acyl, cyclic acetal such as 2',3'-O-isopropylidene or 2',3'-O-benzylidene, or 2',3'-cyclic carbonate; R4, R5, and R6 are independently H, halogen, hydroxyl, ether, thiol, thioether, N3, (un)substituted amine, (un)substituted amido, alkyl, halogenated alkyl, alkenyl, halogenated alkenyl, alkynyl, halogenated alkynyl, hydroxy alkyl, alkoxy are prepared and are potential anti-HCV agents. Specifically, IV was prepared (no yield, claimed) via condensation, alkylation and stereoselective fluorination reactions and can exhibit potential use as an anti-HCV agent.

IT 817204-32-3P 817204-33-4P 874638-82-1P
874638-94-9P 874638-98-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkyl-substituted 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs via condensation of the lactone to nucleosides)

RN 817204-32-3 CAPLUS
CN Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

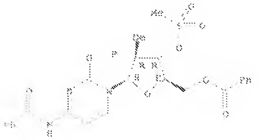


RN 817204-33-4 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 874638-83-1 CAPLUS
CN Benzamide, N-[1-[(2R)-5-O-benzoyl-2-deoxy-2-fluoro-2-methyl-3-O-(methylsulfonyl)-β-D-erythro-pentofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874638-94-5 CAPLUS
CN Benzamide, N-[1-[(2R)-3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-methyl-α-D-erythro-pentofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 874638-98-9 CAPLUS
CN Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

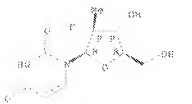
L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:648180 CAPLUS
DN 143:248607
TI Design, Synthesis, and Antiviral Activity of 2'-Deoxy-2'-fluoro-2'-C-methylcytidine, a Potent Inhibitor of Hepatitis C Virus Replication
AU Clark, Jeremy L.; Hollecker, Laurent; Mason, J. Christian; Stuyver, Lieven J.; Tharnish, Phillip M.; Lostia, Stefania; McBrayer, Tamara R.; Schinazi, Raymond F.; Watanabe, Kyoichi A.; Otto, Michael J.; Furman, Phillip A.; Stec, Wojciech J.; Patterson, Steven E.; Pankiewicz, Krzysztof W.
CS Pharmasset, Inc., Princeton, NJ, 08540, USA
SO Journal of Medicinal Chemistry (2005), 48(17), 5504-5508
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 143:248607
AB The pyrimidine nucleoside β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine (I) was designed as a hepatitis C virus RNA-dependent RNA polymerase (HCV RdRp) inhibitor. The title compound was obtained by a DAST fluorination of N4-benzoyl-1-(2-methyl-3,5-di-O-benzoyl-β-D-arabinofuranosyl)cytosine to provide N4-benzoyl-1-(2-fluoro-2-methyl-3,5-di-O-benzoyl-β-D-ribofuranosyl)cytosine. The protected 2'-C-methylcytidine was obtained as a byproduct from the DAST fluorination and allowed for the preparation of two biol. active compds. from a common precursor. Compound I and 2'-C-methylcytidine were assayed in a sub-genomic HCV replicon assay system and found to be potent and selective inhibitors of HCV replication. Compd. I shows increased inhibitory activity in the HCV replicon assay compared to 2'-C-methylcytidine and low cellular toxicity.
IT 817204-33-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(design, synthesis via fluorination, and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of hepatitis C virus replication)

RN 817204-33-4 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

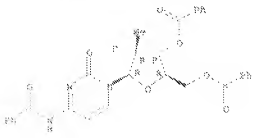
RN 817204-34-5 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



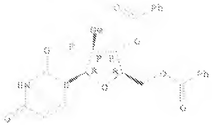
IT 817204-32-3P 864329-65-1P
PLI PCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design, synthesis via fluorination, and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of Hepatitis C virus replication)
RN 817204-32-3 CAPLUS
CN Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



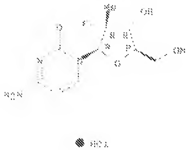
RN 864329-65-1 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 817204-38-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(design, synthesis via fluorination, and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of Hepatitis C virus replication)
RN 817204-38-9 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, monohydrochloride, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:34765 CAPLUS
DN 142:94074
TI Preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-methyl nucleoside analogs as antiviral agents
IN Clark, Jeremy
PA Pharmasset, Ltd., Barbados
SO PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005003147	A2	20050113	WO 2004-US12472	20040421
	WO 2005003147	A3	20050303		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004253860	A2	20050113	AU 2004-253860	20040421
	AU 2004253860	A1	20050113		
	CA 2527657	A1	20050113	CA 2004-2527657	20040421
	US 2005009737	A1	20050113	US 2004-828753	20040421
	EP 1633766	A2	20060315	EP 2004-775900	20040421
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

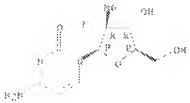
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, BR

BR 2004010046 A 20060627 BR 2004-10046 20040421
CN 1816558 A 20060809 CN 2004-80019146 20040421
JP 2006524679 T 20061124 JP 2006-513231 20040421
MX 2005PA12788 A 20060222 MX 2005-PA12788 20051125
NO 2005006221 A 20051228 NO 2005-6221 20051228
PRAI US 2003-474368P P 20030530
WO 2004-US12472 W 20040421
OS MARPAT 142:38374
GI

AB The disclosed invention provides nucleoside analogs I, wherein B is purine and pyrimidine nucleobase; X is O, S, CH2, Se, NH, N-alkyl, CHW, C(W)2; W is F, Cl, Br, iodo; R1 is H, phosphate, H-phosphonate, acyl, Ph, alkyl, carboxyalkylamino, sulfonate ester, peptide, amino acid, sugar residue; R2 and R2' are independently H, alkyl, alkenyl, alkynyl, vinyl, N3, CN, halogen, NO2, ester, alkoxy, thioalkyl, sulfoxide, sulfonyl; R6 is alkyl, CN, Br, OMe, OEt, CH2OH, CH2F, N3, CHCN, CH2N3, CH2NH2, CH2NHMe, CH2NMe2, alkyne; and methods of treating a Flaviviridae infection, including hepatitis C virus, West Nile Virus, yellow fever virus, and a rhinovirus infection in a host, including animals, and especially humans, using a (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleosides, or a pharmaceutically acceptable salt or prodrug thereof. Thus, (2'R)-2'-deoxy-2'-fluoro-2'-C-methylcytidine was prepared and tested as antiviral agent. The effects the nucleoside analogs tested on human bone marrow cells are reported. (2'R)-2'-deoxy-2'-fluoro-2'-C-methylcytidine shows activity against Rhinovirus, West Nile virus, Yellow Fever virus, and Dengue virus. Cytotoxicity and effect of nucleoside analogs on human bone marrow cells are reported.

IT 817204-33-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleoside analogs as antiviral agents)
RN 817204-33-4 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (CA INDEX NAME)

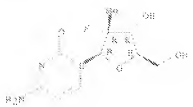
Absolute stereochemistry. Rotation (+).



IT 817204-38-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleoside analogs as antiviral agents)
RN 817204-38-9 CAPLUS
CN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, monohydrochloride, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10/828,773



● HCl

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IT   817204-66-7
     PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me
        nucleoside analogs as antiviral agents)
RN   817204-66-7  CAPLUS
CN   Cytidine 5'-(tetrahydrogen triphosphate), 2'-deoxy-2'-fluoro-2'-methyl-,
     (2'R)-  (CA INDEX NAME)
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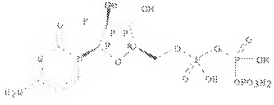
Absolute stereochemistry.



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IT   817204-32-8P 817204-37-8P
     RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me
        nucleoside analogs as antiviral agents)
RN   817204-32-8  CAPLUS
CN   Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate,
     (2'R)-  (9CI)  (CA INDEX NAME)
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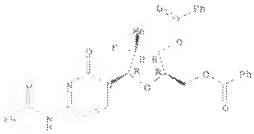
Absolute stereochemistry. Rotation (+).



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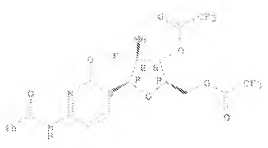
RN   817204-37-8  CAPLUS
CN   Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-
     bis(trifluoroacetate), (2'R)-  (9CI)  (CA INDEX NAME)
```

Absolute stereochemistry.

10/828,753



AV 4 EAST

FILE 'HOME' ENTERED AT 15:01:03 ON 27 DEC 2007

FILE 'HBGIEMBL' ENTERED AT 15:01:04 ON 27 DEC 2007
L1 STRUCTURE UPLOADED
L2 0 S L1 SUB SAM
L3 19 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:02:41 ON 27 DEC 2007
L4 10 S L3